

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 March 2005 (03.03.2005)

PCT

(10) International Publication Number
WO 2005/019206 A1

(51) International Patent Classification⁷: **C07D 401/12**,
401/14, 413/14, 417/14, A61K 31/454, 31/506, 31/497,
A61P 35/00

(74) Common Representative: **MERCK & CO., INC.**; 126
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(21) International Application Number:
PCT/US2004/026012

(22) International Filing Date: 11 August 2004 (11.08.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/495,637 15 August 2003 (15.08.2003) US
60/563,580 19 April 2004 (19.04.2004) US

(71) Applicant (for all designated States except US): **MERCK
& CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **COLEMAN, Paul,**
J. [US/US]; 126 East Lincoln Avenue, Rahway, NJ
07065-0907 (US). **COX, Christopher, D.** [US/US]; 126
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
GARBACCIO, Robert, M. [US/US]; 126 East Lincoln
Avenue, Rahway, NJ 07065-0907 (US). **HARTMAN,**
George, D. [US/US]; 126 East Lincoln Avenue, Rahway,
NJ 07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

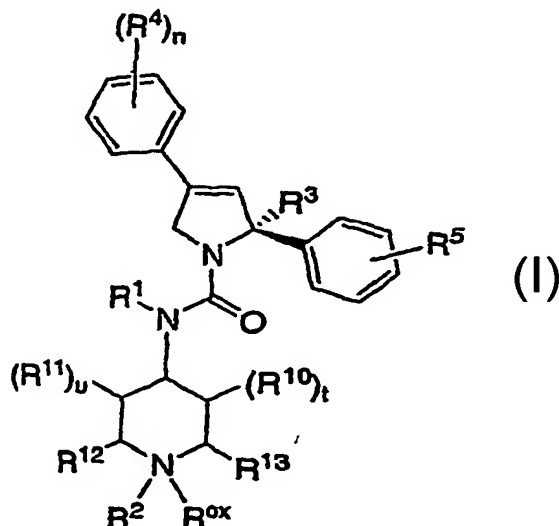
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- with amended claims

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: MITOTIC KINESIN INHIBITORS



(57) Abstract: The present invention relates to dihydropyrrole com-
pounds of Formula (I) that are useful for treating cellular proliferative
diseases, for treating disorders associated with KSP kinesin activity,
and for inhibiting KSP kinesin. The invention is also related to compo-
sitions which comprise these compounds, and methods of using them
to treat cancer in mammals.

WO 2005/019206 A1